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(FILE 'HOME' ENTERED AT 13:29:21 ON 27 JUN 2004)

FILE 'REGISTRY' ENTERED AT 13:29:33 ON 27 JUN 2004

L1 STRUCTURE UPLOADED

L2 STRUCTURE UPLOADED

7 S L1 OR L2 L3

L4 128 S L3 FULL

FILE 'CAPLUS' ENTERED AT 13:30:27 ON 27 JUN 2004

L5 8 S L4

=> d que 15 stat

L1 STR

Structure attributes must be viewed using STN Express query preparation. L2 STR

Structure attributes must be viewed using STN Express query preparation.

L4 128 SEA FILE=REGISTRY SSS FUL L1 OR L2

L5 8 SEA FILE=CAPLUS ABB=ON PLU=ON L4 ANSMER 1 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN
ESSION NUMBER: 2004:419647 CAPLUS
LE: Antiobesity effect of YM348, a novel 5-HT2C receptor

ACCESSION NUMBER:

TITLE:

agonist, in Zucker rats Hayashi, Aska; Sonoda, Rie: Kimura, Yasuharu; Takasu, Toshiyuki: Suzuki, Masanori; Sasamata, Masao; Miyata, AUTHOR(S)

CURPORATE SOURCE:

Keiji Applied Pharmacology. Institute for Drug Discovery Research. Yamanouchi Pharmaceutical Co., Ltd., Tsukuba, Ibaraki, 305-8585, Japan Brain Research (2004), 1011(2), 221-227 CODEN: BRREAP: ISSN: 0006-8993

SOURCE:

Elsevier Science B.V. PUBLISHER

DOCUMENT TYPE:

Journal English LANGUAGE

ARSTRACT:

LANGLAGE: English ABSTRACT:
The purpose of the present study was to investigate the potency of (3)-2-(7-ethyl-IH-furo[2,3-g]indazol-1-y])-1-methylethylamine (YM348), a 5-HTZC receptor agonist, as an antiobestity agent in Zucker rats. Single oral administration of YM348 at 0.1.0.3, 1 and 3 mg/kg significantly reduced food intake in a dose-dependent manner. This effect of YM348 on tood intake was inhibited by SB242084. a selective 5-HIZC receptor antagonist. In addition, single administration of YM348 significantly increased body temperature and calorie expenditure at doses of 0.3.1 and 3 mg/kg, and 1 and 3 mg/kg, p.o. resp. The increasing effect of YM348 on body temperature and calorie expenditure was inhibited by SB242084. Chronic s.c. influsion of YM348 (3 and 30 mg/kg/day) for 2 wk also decreased food intake. However, this hypophagic effect of YM348 was marked during the initial week of influsion but only minor in the second. In contrast, no diminution of effect on body temperature and calorie expenditure was seen on repeated administration of YM348 (1 mg/kg p.o.). No weeks's.c. influsion of YM348 (3 and 30 mg/kg/day) resulted in a significant decrease in body weight gain throughout the experiencent These results suggest that the maintenance of thermogenesis contributed to the reduced body weight by YM348. The ability of YM348 to decrease body weight in Zucker rats suggests its strong potential for development as an antionesity agent in humans.

IT 372163-84-3. YM348

372163-84-3. YM,348
RI: PAC (Phramacological activity); THU (Therapeutic use): BiOL
(Biological study); USFS (Uses)
(antiobestity effect of YM348 in Zucker rats)
372163-84-3 CAPLUS
1H-Furo[2.3-g]indazole 1-ethanamine, 7-ethyl-u-methyl-, (uS)(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

ANSWER 2 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: DOCUMENT NUMBER:

2004:9219 CAPLUS 140:264321

TITLE:

Pharmacological profile of gYM348, a novel, potent and

AUTHOR(S):

CORPORATE SOURCE:

Pharmacological profile of gYMS48. a novel, potent and orally active 5-HIZC receptor agonist Kimura, Yasuharu; Hatanaka, Ken-ichi; Naitou, Yuki; Maeno, Kyoichi; Shimada, Itsuro; Koakutsu, Akiko; Wantbuchi, Tuaikazu; Yanaguchi, Tokio Institute for Drug Discovery Research, Pharmacology Laboratories, Yamanouchi Pharmaceutical Co., Itd., Tsukuba, Bharaki, 308-8865, Japan European Journal of Pharmacology (2004), 483(1), 37-43 CODEN: EJPHAZ; ISSN: 0014-2999 Flsevier Science B.V.

SOURCE:

PUBLISHER: DOCUMENT TYPE: Elsevier Science B.V.

Journa1

LANGUAGE: English

LANGUAGE: English
ASSTRACT:
YM348. (S)-2-(7-ethyl-1H-furo[2.3-g]indazol-1-yl)-1-methylethylamine, showed a
high affinity for cloned human 5-HTZC receptors (Ki: 0.89 nH). The functional
selectivity for 5-HTZC receptors in the 5-HTZ receptor family was the highest
among 5-HTZC receptor agonists, including m-chlorophenylpiperazine (mCPP) and
Ro60-0175 ((S) 2-(6-chloro-5-fluoroindol-1-yl)-1-methylcthylamine). Oral
administration of YM348 induced pentle erections and hypolocomotion in rats,
being completely inhibited by a selective 5-HTZC receptor antagonist. SS242084
(6-chloro-5-methyl)-1-[6-(2-methylpyridin-3-yloxy) pyridin-3-yloxy) pyridin-3-yloxy) pyridin-3-yloxy) pyridin-3-yloxy) pyridin-3-yloxy) pyridin-3-yloxy) pyridin-3-yloxy pyridin-3-yloxy and
inchoxyphenyl)-1-[2-(4-fluorophenylethyl)]-4-piperidine-methanol), and a
selective 5-HTZA receptor antagonist. MDL100907 (R(+)-c-(2.3dimethoxyphenyl)-1-[2-(4-fluorophenylethyl)]-4-piperidine-methanol), and a
selective 5-HTZB receptor antagonist. RS-127445 (2-amino-4-(4-fluoronaphth-1yl)-6-isopropylpyrimidne), had no effect on the decline in penile erection
frequency at 2.03 mg/kg of YM348. YM348 did not affect blood pressure at 2.03
mg/kg. In conclusion. YM348 is a novel, potent and orally active 5-HTZB
receptor agonist, and neither the activation of 5-HTZA or 5-HTZB receptors nor
a cardiovascular effect is likely to contribute to the inverted U-shape
dose-response curve for penile erections.

II. 372163.84.3 YM 348

372163-84-3, YM 348
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use): BIOL (Biological study): USFS (Uses) (pharmacol. profile of 5-HT2C receptor agonist YM348) 372163-84-3 CAPLUS
IH-Furo[2.3-q]indazole-1-cthanamine, 7-ethyl-α-methyl-. («S)-

(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

ANSWER 1 OF 8 CAPLUS COPYRIGHT 2004 ACS on SIN (Continued)

ANSWER 2 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



REFERENCE COUNT:

THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT L5 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN ACCESSION NUMBER: 2003:766641 CAPLUS

DOCUMENT NUMBER: TITLE:

140:209909 Synthesis and cytoloxic activity of some new

AUTHOR(S):

azapyranoxanthenone aminoderivatives Kolokythas, George; Kostakis, Ioannis K.; Pouli, Nicole: Marakos, Panagiotis; Kletsas, Dimitris;

CORPORATE SOURCE:

Proteins. Harris Department of Pharmacy. Division of Pharmaceutical Chemistry. University of Athens. Athens. 15771, Greece Bioorganic & Medicinal Chemistry (2003). 11(21).

SOURCE:

4591-4598 CODFN: BMECEP: ISSN: 0968-0896

PUBLISHER:

Elsevier Ltd. DOCUMENT TYPE: LANGUAGE: English

ABSTRACT:

A series of novel azapyranoxanthenones, bearing structural similarity to the acridone alkaloid acronycine have been designed and synthesized. Their in vitro cytotoxicities against the murine L1210 leukemia and the human solid tumor HT-29 cell lines have been investigated. The new derivs. exhibited interesting cytotoxic activity and were more potent than the parent compound

#### 664343-63-9P 664343-64-0P

RL: PAC (Pharmacological activity): SPN (Synthetic preparation): THU (Therapeutic use): BIOL (Biological study): PREP (Preparation): USES (Uses)

(synthesis and cytotoxic activity of some new azapyranoxanthenone aminoderivatives)

664343-63-9 CAPLUS
Pyrano[2.3-g]pyrido[3'.2':5.6]pyrano[4.3.2-cd]indazole-1(10H)-ethanamine.
N.N.10.10-tetramethyl- (9CI) (CA INDEX NAME)

664343-64-0 CAPLUS

OFFIGURE 10.10 (3'.2':5.6]pyrano[4.3.2-cd]indazole-1(10H)-ethanamine. N.N-diethyl-10.10-dimethyl- (9CI) (CA INDEX NAME)

L5 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2004 ACS ON STN ACCESSION NUMBER: 2003:717764 CAPLUS

DOCUMENT NUMBER:

139:230775

Preparation of pyranoindazoles and their use for the treatment of glaucoma

INVENTOR(S):

Chen. Hwang-hising: May. Jesse A.; Severns. Bryon S. Alcon. Inc.. USA U.S. Pat. Appl. Publ.. 33 pp.. Cont.-in-part of Appl. PCT/ISD2/16861.

PATENT ASSIGNEE(S): SOURCE:

CODEN: USXXCO Patent DOCUMENT TYPE:

LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT	NO.	KIND	DATE	APPLICATION NO. DATE
US 2003	US 2003171418		20030911	US 2002-316600 20021211
US 6696476		B2	20040224	
	WO 2002098350		20021212	WO 2002-US16861 20020530
WO 2002098350 A3		V3	20030227	
W:	AF. AG	. AL. AM	. AT. AU.	AZ. BA. BB. BG. BR. BY. BZ. CA. CH. CN.
	CO. CR	. CU. CZ	. DE. DK.	DM. DZ. EC. EE. ES. FI. GB. GD. GE. GH.
	GM, HR	. HU. ID	. IL. IN.	IS. JP. KE, KG, KP. KR, KZ, LC. LK, LR.
				MG. MK. MN. MW. MX. MZ. NO. NZ. OM. PH.
	PL. PT	. RO. RU	. SD. SE.	SG. SI. SK. SL. TJ. TM. TN. TR. TT. TZ.
	UA. UG	. US. UZ	. VN. YU.	ZA. ZM. ZW. AM. AZ. BY. KG. KZ. MD. RU.
	TJ. TM			
RW:				SD. SL. SZ. TZ. UG. ZM. ZW. AT. BE. CH.
	CY, DE	. DK. ES	. FI. FR.	GB, GR. IE. IT. LU. MC, NL. PT. SE, TR.
	BF. BJ	. CF. CG	. CI. CM.	GA. GN. GQ. GW. ML. MR. NE. SN. TD. TG
ORITY APP	LN. INFO	).:		US 2001-295429P P 20010601
				WO 2002-US16861 A2 20020530

OTHER SOURCE(S): GRAPHIC IMAGE:

MARPAT 139:230775

ARSTRACT

Pyranoindazoles of formula I [R1, R2 = H. alkyl; R3, R4 = H. alkyl; R3R4 -

L5 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

REFERENCE COUNT:

THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS 25 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) heterocycle: R5 = II. halo. alkyl: R6. R7 = H. halo. CN. alkyl:thfo. alkyl: R8. R9 = H. OH. alkyl: alkoxy. oxo. etc.: A = (CH2)n. CO. CH-alkyl: n = 0-2: X. Y = N. C] are disclosed. Also disclosed are methods for the lowering and controlling of normal or elevated intraocular pressure as well as a method for the treatment of glaucoma using compns. contg. one or more of the compds. of the present invention. Thus. II was prepd. and had IC50 of 2.25 nM and EC50 of 65.3 nM in 5-HIZA receptor binding assay. 65.3 nM in 5-HI2A receptor binding assay.

477965-95-0P 477965-97-2P 477965-99-4P 477965-02-2P 477966-10-4-P 477966-06-6P 477966-08-8P 477966-10-2P 477966-11-3P 477966-13-9P 477966-13-P 477966-17-9P 478132-05-7P 477966-19-1P 478132-07-9P 478132-08-0P 478132-09-1P 478132-10-4P 478132-12-6P 478132-09-1P 478132-10-4P 478132-11-69 478132-15-9P 594871-65-2P 594871-65-3P 594871-66-6P 594871-65-3P 594871-65-3P 594871-67-69-594871-10-2P 594872-03-3P 594872-03-4P 594872-03-6P 594872-03-4P 594872-03-6P 594872-03-6P 594872-04-5P 594872-05-6P 594872-06-7P
594872-07-8P 594872-08-9P 594872-09-0P
RI: PAC (Pharmacological activity): SPN (Synthetic preparation): TMU
(Therapeutic use): BIOL (Biological study): PREP (Preparation): USES (USes) (preparation of pyranoindazoles for the treatment of glaucoma) 477965-95.0 CAPLUS
Pyrano[2.3-g]indazol-8-ol. 1-(2-aminopropyl)-1.7.8.9-tetrahydro- (9CI)
(CA INDEX WAME)

477965-97-2 CAPLUS Pyrano[2,3-g]indazol-8-ol. 1-[(2S)-2-aminopropyl]-1.7.8.9-tetrahydro-(9CI) (CA INDEX NAME)

L5 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2004 ACS on SIN (Continued)

477965-99-4 CAPLUS
Pyrano[2.3-g]1ndazol-8-ol. l-[(2S)-2-aminopropyl]-1.7.8.9-Letrahydro-.
(8R)- (9C1) (CA INDEX NAME) CN

Absolute stereochemistry. Rotation (+).

477966-02-2 CAPLUS
Pyrano[2.3-g]indazol-8-ol, 1-[(2S)-2-aminopropy+]-1.7.8.9-tetrahydro-.
(8S)- (9CI) (CA INDEX MAME)

Absolute stereochemistry. Rotation (-).

methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 4 OF 8 CAPLUS COPYRIGHT 2004 ACS on SIN (Continued)



4/7966-11-3 CAPLUS

Pyrano[2.3-g]indazole-8-methanol, 1-[(2S)-2-aminopropyl]-1.7,8,9-tetrahydro- (9Cl) (CA INDEX MAME)

Absolute stereochemistry.

477966-13-5 CAPLUS
Pyrano[3.2-e]indazol-8-ol. 1-(2-aminopropyl)-3.7.8.9-tetrahydro- (9CI) (CA INDEX NAME)

477966-15-7 CAPLUS

Pyrano(3.2-e]indazol-8-ol, 3.7.8.9-tetrahydro-1-(2-pyrrolidinylmethyl)-(9CI) (CA INDEX MAME)

AMSWER 4 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

477966-06-6 CAPLUS Pyrano[2.3-g]indazol-8 ol. 1.7.8.9-tetrahydro-1-[(2S)-2-pyrrolidinylmethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

477966-08-8 CAPLUS

Pyrano[2,3-g]indazol 8 ol. 1-L(2S) 2 aminopropyl]-5-fluoro-1.7.8,9-tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

477966-10-2 CAPLUS

Pyrano[2.3-g]indazole-1(7H)-ethanamine. 8-(dimethylamino)-8.9-dihydro-α-methyl-. (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

1.5 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

477966-17-9 CAPLUS Pyrano[3.2-c]indarol-8-ol. 1-[(2S)-2-aminopropyl]-3.7.8.9-tetrahydro-(9Cl) (CA INDEX NAME) CN

Absolute stereochemistry.

Absolute stereochemistry.

 $478132\cdot04\cdot6$  CAPLUS Pyrano[2.3-g]indazole-1(7H)-ethanamine. 8-amino-8.9-dihydro- $\alpha$ -methyl-, ( $\alpha$ S.8R)- (9CI) (CA INDEX MAME)

L5 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

4/8132-05-7 CAPLUS Pyrano[2,3-g]indazole-8,9-diol. 1-[(2\$)-2-aminopropyl]-1.7.8.9-tetrahydro-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

Absolute stereochemistry.

478132-07-9 CAPLUS
Pyranol2.3 g]indazol-8-ol. 1-(2-aminopropyl) 1.7.8.9-tetrahydromonohydrochloride (9CI) (CA INDEX NAME)

L5 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN

# ●3 HC1

Absolute stereochemistry. Rotation (-).

478132-12-6 CAPLUS Pyrano[2.3-g]indazole-1(7H)-ethanamine,  $\alpha\text{-methyl-.}$  ( $\alpha\text{S}\text{)-}$  (9CT) (CA INDEX HAME)

Absolute stereochemistry.

478132-15-9 CAPLUS

Pyrano[2.3-g]indazol-8-ol. 9-amino-1-[(2S)-2-aminopropyl]-1.7.8.9-tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

●HC1

Absolute stereochemistry.

478132-09-1 CAPLUS Pyrano[2.3-g]indazole-1(7H)-ethanamine. 8-amino-8,9-dihydro- $\alpha$ -methyl-trihydrochloride. ( $\alpha$ S.8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 4 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

594871-62-2 CAPLUS
Pyrano[2.3-g]indazole-8.9-diol. 1-[(2S)-2-aminopropyl]-1,7.8.9-tetrahydro. (8S.9R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

594871-63-3 CAPLUS
Pyrano[2.3-g]indazol-7(1H)-one. 1-[(2S)-2-aminopropyl]-.
mono(trnfluoroacetate) (9CI) (CA INDEX NAME)

CRN 478132-13-7 CMF C13 H13 N3 O2

Absolute stereochemistry

CM 2

CRN 76-05-1 CNF C2 H F3 02

L5 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

F-\$-02H

Absolute stereochemistry.

●2 HC1

 $5948^*1-65-5$  CAPLUS Acetamide. N-[2-[(2R)-1-[(2S)-2-aminopropy]]-1.7.8.9-tetrahydropyrano[2.3-g]indazol-8-yl]oxy]ethyl]- (9Cl) (CA INDEX MAME)

Absolute stereochemistry.

Absolute stereochemistry.

L5 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



●2 HC1

594871-69-9 CAPLUS 1H-Furo[2.3-g]indazole-7-methanol, 1-[(2S)-2-aminopropyl]-7.8-dihydrodihydrochloride (9C1) (CA INDEX NAME)

Absolute stereochemistry.

●2 HC1

594871-70-2 CAPLUS 1H-Furo[2.3-q]indazole-/-carboxylic acid. 1-[(2S)-2-aminopropyl]-7.8-dihydro-. ethyl ester (9CI) (CA INDCX NAME)

Absolute stereochemistry.

Absolute stereochemistry.

L5 ANSWER 4 OF 8 CAPLUS COPYRIGIN 2004 ACS on STN (Continued)

594871-67-7 CAPLUS Acetic acid. [[(88)-1-[(25)-2-aminopropy]]-1,7.8.9-tetrahydropyrano[2,3-g]indazol-8-y][oxy]-. 1.1-dimethylethyl ester. dihydrochloride (9CI) (CA INDEX NOME)

Absolute stereochemistry.

594871-68-8 CAPLUS Pyrano[3.2-e]indazole-1-ethanamine, 3.7.8.9-tetrahydro- $\alpha$ -methyl-, dihydrochloride, ( $\alpha$ S)- (9CI) (GA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



594872-03-4 CAPLUS Pyrano[2.3-g]indazole-1(7H)-ethanamine. 8.9-dihydro-8-(2-methoxyethoxy)-  $\alpha$ -methyl-. ( $\alpha$ S.8R)- (9C1) (CA INOEX NAME)

Absolute stereochemistry.

Absolute stereochemistry.

594872-05-6 CAPLUS Urea. N-[(8R)-1-[(2S)-2-aminopropyl]-1.7.8.9-tetrahydropyrano[2.3-g]indazol-8-yl]-N'-ethyl-N-methyl- (9CI) (CA INDEX NAME)

L5 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 594872-06-7 CAPLUS
CN Pyrano[3.2-e]indazole-1-ethanamine, 3.7.8.9-tetrahydro-«-methyl-,
(\alpha\$)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 594872-07-8 CAPLUS CN Ethanol. 2-[[1-[(2S)-2-aminopropy]]-3./.8.9-tetrahydropyrano[3.2-e]indazol-8-yl]oxy]- (9Cl) (CA INDEX NAME)

Absolute stereochemistry.

RN 594872-08-9 CAPLUS
CN IH-Furo[2.3-g]indazole-7-methanol. 1-[(2S)-2-aminopropyl]-7.8-dihydro(9CI) (CA INDEX NAME)

L5 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 478132-33-1 CAPLUS
CN Pyrano[2.3-g]indazol 8-ol. 1-(2-azidopropyl)-1.7.8.9-tetrahydro- (9CI)
(CA INDEX MAME)

RN 478132-42-2 CAPLUS
CN Pyrano[2.3-g] indazole. 1-[(2R)-2-azidopropyl]-8-(1 ethoxyethoxy)-1.7.8.9tetrahydro- (9C1) (CA INDEX MANE)

Absolute stercochemistry

RN 478132-43-3 CAPLUS

(N Pyrano[2.3-g]indazol-8-ol. 1-[(2R)-2-azidupropyl]-1.7.8.9-tetrahydro(9CI) (CA INDEX MAME)

Absolute stereochemistry

L5 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) Absolute stereochemistry.

RN 5948/2-09-0 CAPLUS
CN 3H-Furo[3,2-e]indazole-7-acetamide, 1-[(2S)-2-aminopropyl]-7.8-dihydro(9C1) (CA INDEX NAME)

Absolute stereochemistry.

11 4/8132-32-0P 478132-33-1P 478132-42-2P 478132-43-3P 478132-44-4P 478132-48-8P 478132-50-2P 478132-51-3P 478132-55-7P 478132-56-8P 478132-57-9P 478132-55-1P 478132-60-4P 478132-62-6P 594871-74-6P 594871-75-7P 554871-76-8P 594871-79-1P 594871-94-8P 594871-88-2P 594871-94-0P 594871-99-PS 594872-00-1P RL: RCT (Reactant): SPN (Synthetic preparation): PREP (Preparation): RACT (Reactant or reagent) (preparation of pyranoindazoles for the treatment of glaucoma)

RN 47812-32-0 CAPLUS
CN Pyrano[2.3-g]indazole. 1-(2-azidopropyl)-8-(1-ethoxyethoxy)-1./.8.9-tetrahydro- (9CI) (CA INDEX NAME)

L5 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 4/8132-44-4 CAPLUS
CN Pyrano[2.3-g]indazol-8-ol. 1-[(2S)-2-azidopropyl]-1.7.8.9-tetrahydro(9CT) (CA INDEX NAME)

Absolute stereochemistry.

RN 478132-48-8 CAPLUS CN Pyranof2.3-g]indazol-8-ol. l-[(2S)-2-azidopropyl]-1.7.8.9 tetrahydro-. (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry

RN 478132-50-2 CAPLUS
CN Pyrano[2.3-q]indazole. 1-[(2S)-2-azidopropyl]-8-(1-ethoxyethoxy)-1.7.8.9-tetrahydro-. (8R)- (9CI) (CA INDEX MANE)

L5 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

Absolute stereochemistry.

478132-51-3 CAPLUS
Pyrano[2.3-g]indazol-8-ol. 1-[(25)-2-azidopropyl]-1.7.8.9-tetrahydro-.
(85)- (9CI) (CA INDEX MAME)

Absolute stereochemistry.

478132-56-8 CAPLUS

Carbamic acid. [(IS)-2-[(8R.9S)-8.9-dihydro-8.9-dihydroxypyrano[2.3-g]indazol-1(7H)-yl]-1 methylethyl]-. phenylmethyl ester (9CI) (CA INDEX

ANSWER 4 OF 8 CAPLUS COPYRIGHT 2004 ACS on S1N L5 (Continued)

Absolute stereochemistry.

478132-62-6 CAPLUS
Carbamic acid. [(IS)-2-(8.9-dihydro-8-hydroxy-9-methoxypyrano[2.3-g]indazol-1(7H)-y1)-1-methylethyl]-. phenylmethyl ester (9C1) (CA INDEX NAME)

Absolute stereochemistry.

594871-74-6 CAPLUS

Pyrano[2.3-g]indazole. 1-[(2S)-2-azidopropyl]-8-(1-ethoxyethoxy)-1.7.8.9-tetrahydro- (9CI) (CA INDEX MAME)

Absolute stereochemistry

15 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

Absolute stereochemistry.

478132-57-9 CAPLUS Carbamic acid. ([15)-2-[(85,9R)-8,9-dihydro-8,9-dihydroxypyrano[2,3-g]indazol-1(7H)-yl]-1-methylethyl]-, phenylmethyl ester (9Cl) (CA IHDEX IMME) CN

Absolute stereochemistry.

478132-59-1 CAPLUS
Pyrano[2.3-g]indazole. 1-[(2S)-2-azidopropyl]-1.7-dihydro- (9Cl) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

594871-75-7 CAPLUS
Pyrano[2.3-g]indazole. 8-azido-1-[(2S)-2-azidopropy1]-1.7.8.9-tetrahydro-.
(8R)- (9CI) (CA INDEX MAME)

Absolute stereochemistry

594871-76-8 CAPLUS Carbamic acid. [(1S)-2-(9-azido-8.9-dihydro-8-hydroxypyrano[2,3-g]indazol-1(7H)-yl)-1-methylethyl]-, phenylmethyl ester (9CI) (CA INDFX NAME)

Absolute stereochemistry.

594871-79-1 CAPLUS Acetic acid. [[(8R)-1-[(7S)-2-azidopropyl]-1.7.8.9-tetrahydropyranu[2.3-g]indazol-8-yl]oxy]-. 1.1-dimethylethyl ester (9CI) (CA INDEX NAME)

ANSWER 4 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

594871-84-8 CAPLUS Acetamide. N-[2-[[(8R)-1-[(2S)-2-azidopropyl]-1./.8.9-tetrahydropyrano[2.3-g]indazol-8-yl]oxy]ethyl]- (9CI) (CA INDEX MAME)

Absolute stereochemistry

Absolute stereochemistry

Pyrano[3.2-e]indazole. 1-[(2S)-2-azidopropyl]-3.7-dihydro- (9CI) (CA INDEX NAME)

ANSWER 5 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN

2002:946270 CAPLUS 138:24711 ACCESSION NUMBER:

DOCUMENT NUMBER:

Novel fused indazoles and indoles with 5-HI2 receptor TITLE:

activity, and their use for lowering of intraocular pressure in the treatment of glaucoma

May. Jesse A.; Dantanarayana, Anura P. Alcon, Inc., Switz. PCT Int. Appl., 35 pp. CODEN: PIXXD2 INVENTOR(S):

PATENT ASSIGNEE(S):

DOCUMENT TYPE: Patent

LANGUAGE: FAMILY ACC. NUM. COUNT:

PATENT INFORMATION

PATENT NO. KIND DATE APPLICATION NO. DATE W: AC, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, C7, DE, DK, DM, D7, EC, EE, ES, F1, GB, GD, GE, GH, GM, HR, Hu, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MS, MK, MM, MW, MX, MZ, NO, NZ, CM, PH, PL, PT, RO, RU, SD, SC, SG, S1, SK, SL, TJ, TM, TM, TR, TT, TZ, UA, UG, US, UZ, VM, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, ND, RU, TJ, TM T.I. TM

RW: GII. GM. KE. LS. MW. MZ. SD. SL. SZ. TZ. UG. ZM. ZW. AT. BE. CH.

CY. DE. DK. ES. FI. FR. GB. GR. IF. IT. LU. MC. NL. PT. SE. TR.

GF. BJ. CF. GG. CI. CM. GA. GW. GG. GW. ML. MR. IKE. SN. ID. IG

EP 1392658

Al 20040303

EP 2002-734608

20020530

ER AT. BE. CH. DE. DK. ES. FR. GB. GR. IT. LI. LU. NL. SE. MC. PT.

IE. SI. LT. LV. FI. RD. MK. CY. AL. TR

US 2004106597

Al 20040603

US 2003-721204

20031126 NA 20040603 US 2003-721204 US 2003-721204 US 2003-721204 US 2002-95420P P 20010601 WO 2002-US17114 W 20020530 WARPAT 138:24711 PRIORITY APPLN. INFO.:

ABSTRACT:

OTHER SCURCE(S): GRAPHIC IMAGE

ANSWER 4 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

594871-99-5 CAPLUS 1H Furo[2.3 g]indazole-7-carboxylic acid. 1-[(2S)-2-azidopropyl]-7,8-dihydro-. 1.1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry

594872-00-1 CAPLUS

IH-Furo[2.3 g]indazole-7-carboxylic acid. 1-[(2S)-2-azidopropyl]-7.8-dihydro-. ethyl ester (9CI) (CA INDEX MAME)

Absolute stereochemistry

L5 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) Novel fused indazoles and indoles are disclosed. Also disclosed are methods for the lowering and controlling of normal or elevated intraocular pressure, as well as a method for the treatment of glaucoma, using compos, contg. one or more of the invention compds. In particular, compds. I are claimed (wherein R1 and R2 are independently hosen from H or alkyl. R3 and R4 are independently chosen from II or alkyl. or R2. R4, and the C atom to which they are attached form cycloalkyl: or R2 and R3 together are CH2Dm to form a satd, heterocycle: R5 is chosen from OH. alkoxy, alkyl, halogen, or CCCON» R6 is chosen from H, halogen, or (un)substituted alkyl: R7 and R8 are H or alkyl: W is (un)substituted alkyl. NR/RB. NR/CH2CH2DMN/RB. O-alkyl. or (un)substituted alkyl: R7 and R8 are H or alkyl: W is (un)substituted alkyl are in S2 or 3: A is a 5 to 7-membered ring optionally contg. one heteroatom chosen from NR/. O. or S: X is either N or C: Y and Z are either N or C. wherein Y and Z are different: and the dashed bonds denote a suitably appointed single and double bond: or pharmaceutically acceptable salts or solvates thereof]. Nine specific compds, I are claimed per se, and these compds, plus I3 addnl. unproped, compds, are claimed in corresponding methods of lowering intraocular pressure or treating glaucoma. For instance, title compd. II.2HCI was prepd. in 8 steps from 1-amino-5.6.7.8-tetrahydronaphthalene (III). The sequence involved: (1) nitration of III in the 2- and 3-positions: (2) diazotization with cyclization to give a benzopyrazole ring; (3) N-alkylation of the formed phenolic hydroxy group: (7) mesylation of the alkanolic hydroxy group and conversion to the azide; and (8) hydrogenation of the nation in the ratice and actidification. II.2HCI bound to rat cortical 5-HIZ receptors in vitro with an ICSO of 0.71 nN, vs. 0.941 for 5-HT itself. This compd. also showed agonist activity at rat vascular 5-HIZ receptors in a phosphonositide turnover assay, and ANSWER 5 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) and reduced intraocular pressure in conscious cymomologus monkeys by about  $20^\circ$  for at least 6 h at a dose of 300  $\mu g$  (topical).

477965-95-0. 1-(2-Aminopropyl)-1.7.8.9-tetrahydropyrano[2.3-g]indazol-8-ol 477965-97-2. 1-((S)-2-Aminopropyl)-1.7.8.9-tetrahydropyrano[2.3-g]indazol-8-ol 477965-99-4. | State | Stat tetrahydropyrano[3,2-e]indazol-8-ol RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

- 15 AKSWER 5 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) (drug candidate: propn. of novel fused indazoles and indoles with 5-HT2 receptor activity for use in the treatment of glaucoma)

  RN 477965-95-0 CAPLUS
- Pyrano[2.3-g]indazol-8-ol, 1-(2-aminopropyl)-1.7.8.9-tetrahydro- (9CI)
  (CA INDEX NAME)

477965-97-2 CAPLUS Pyrano[2,3-g]indazol-8-ol, 1-[(2S)-2-aminopropyl]-1.7.8.9-tetrahydro-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

4/7965-99-4 CAPLUS
Pyrano[2,3-9]indazol-8-ol. 1-[(2S)-2-aminopropyl]-1.7.8.9-tetrahydro-.
(8R)- (9Cl) (CA INDEX MAME)

Absolute stereochemistry. Rotation (+).



477966-02-2 CAPI US

ANSWER 5 OF 8 CAPLUS COPYRIGHT 2004 ACS on SIN tetrahydro- (9CI) (CA INDEX NAME) (Continued)

Absolute stereochemistry.

477966-10-2 CAPLUS Pyrano[2.3-q]indazole-1(7H)-ethanamine, 8-(dimethylamino)-8.9-dihydro- $\alpha$ -methyl-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

477966-11-3 CAPLUS
Pyrano[2,3-g]indazole-8-methanol. 1-[(2S)-2-aminopropyl]-1.7.8.9tetrahydro- (901) (CA INDEX NAME)

Absolute stereochemistry.

477966-13-5 CAPLUS

Pyrang(3.2-clindazol-8-ol, 1-(2-aminopropyl)-3.7.8.9-tetrahydro- (9Cl) (CA INDEX NAME)

L5 ANSNER 5 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CN Pyrano[2.3-g]indazol-8-ol. 1-[(25)-2-aminopropyl]-1.7.8.9-tetrahydro-.
(85)- (9C1) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

47/966-04-4 CAPLUS

Pyrano[2.3-g]indazol-8-ol, 1-[(2S)-2-aminopropyl]-1.7.8.9-tetrahydro-3-methyl- (9Cl) (CA INDEX NAME)

Absolute stereochemistry.

477966-06-6 CAPLUS
Pyrano[2.3-g]indazol-8-ol. 1.7.8.9-tetrahydro-1-[(2S)-2-pyrrolidinylmethyl]- (9CI) (CA 1MDEX NAME)

Absolute stereochemistry

- 4//966-08-8 CAPLUS Pyrano[2,3-g]indazol-8-ol, 1-[(2\$)-2-aminopropyl]-5-fluoro-1.7.8.9-
- L5 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

477966-15-7 CAPLUS
Pyrano[3.2-e]indazol-8-ol. 3.7.8.9-tetrahydro-1-(2-pyrrolidinylmethyl)-(9CI) (CA INDEX NAME) CN



477966-17-9 CAPLUS
Pyrano[3.2-e] indazo1-8-ol. 1-[(2S)-2-aminopropy1]-3.7.8.9-tetrahydro(9C1) (CA INDEX NAME)

Absolute stereochemistry

Absolute stereochemistry.

477966-19-1 CAPLUS
Pyrano[3.2-e]indazol-8-ol, 1-[(2S)-2-aminopropyl]-3.7.8.9-tetrahydru-3methyl- (9CI) (CA INDEX NAME)

ANSWER 5 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

REFERENCE COUNT:

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS

L5 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

ABSTRACT:

ABSTRACT:

New pyranoindazoles are disclosed. Also disclosed are methods for the lowering and controlling of normal or elevated intraocular pressure. as well as a method for the treatment of glaucoma, using compos. containing one or more of the invention compds. In particular, compds. I are claimed [wherein: R1, R2 = II or alky]: R3, R4 - H or alky]: or CR3R4 forms cycloalky] ring: or R2R3 = saturated (CH2)m to form a heterocycle: R5 = H, halo, or (un)substituted alky]: R6, R7 = II, halo, cyano, alkylthio, or (un)substituted alky]: R8, R9 = H, OH. (un)substituted alky]: Alkoxy, oxo, RNIORIL OGONRIR2, CGOD-C1-4-alkyl, or alkylthiol: R10, R11 = H, (un)substituted alkyl; R8, R9 = H, OH. (un)substituted alkyl): or CGOD-C1-4-alkyl, and sembered ring; A = (CI2)n, CO, or CH-C1-4-alkyl; B = single or double bond. Wherein when B = double bond. The R8 and R9 = H or (un)substituted alkyl; m = 2-4; n = 0-2; X, Y = N or C, wherein X = Y; dashed bonds = appropriate single and double bonds]. Twelve synthetic examples are given, and sixteen individual compds, are specifically claimed, both per se and in associated method claims. For instance, title compound II was prepared in 7 steps frow 1-(R8)-2-((tert-butyldimethyls1:anyl))xoy)propyl]-1H-indazol-6-ol (III). Specifically, the sequence involved; (1) etherification of III with proparyl bromide; (2) thermal cyclization of the proparyl ether to give a tetrahydropyrano[2.3-g]indazol-8-ol derivative, with one diastereozer predominating; (4) protection of the ring alc, as a 1-ethoxyethyl ether; and desilylation of the other alc.; (5) conversion of the free alc. to an (5)-stomeric axide via the mesylate: (6) removal of the 1-ethoxyethyl ether; protecting group: and (7) reduction of the axide to an amine. II bound to rat or human cortical 5-HIZ receptors in vitro with an ICSO of 2.19 ml, vs. 0.941 nli for 5-HI itself. II also comparable to the known agent (R)-DOI at 100 µg.

478132-10-4P. (\$)-2-(8.9-Dihydro-7H-pyrano[2.3-g]indazol-1-yl)-1methylethylamine dihydrochloride RL: PAC (Pharmacological activity): RCT (Reactant): SPN (Synthetic preparation): THU (Therapeutic use): BIOL (Biological study): PREP ANSWER 6 OF 8 CAPLUS COPYRIGHT 2004 ACS on STIL

ACCESSION NUMBER: DOCUMENT NUMBER: 2002:946051 CAPLUS 138:24710

Pyranoindazoles with 5-HT2 receptor activity, and TITLE: their use for lowering intraocular pressure in the treatment of glaucoma

Chen, Hwang-Hising: May, Jesse A.: Severns, Bryon S. Alcon, Inc., Switz. PCT Int. Appl., 58 pp. CODEN: PIXXD2 INVENTOR(S)

PATENT ASSIGNEE(S): SOURCE

DOCUMENT TYPE: Patient LANGUAGE: FAMILY ACC. NUM. COUNT: English

PATENT INFORMATION:

APPLICATION NO. DATE PATENT NO. KIND DATE WO 2002098350 A2 A3 20021212 WO 2002-US16861 20020530 WO 2002098350 20030227 2098359 A3 CUGUSCZY
AF. AG. AL. AM. A1. AU. AZ. BA. BB. BG. BR. BY. BZ. CA. CH. CR. CO. CR. CU. CZ. DE. DK. DM. DZ. EC. EE. ES. FI. GB. GD. GE. GH. CM. HR. HU. 10. IL. IN. 1S. JP. KL. KG. KP. KR. KZ. LC. LK. LR. LS. LT. LU. LV. NA. MD. MG. MK. MN. MW. MX. AZ. NO. NZ. CM. PH. PL. PT. RO. RU. SD. SE. SG. SI. SK. SL. TJ. TM. IN. TR. TT. TZ. UA. UG. US. UZ. VN. YU. ZA. ZM. ZW. AM. AZ. BY. KG. KZ. MD. RU. TI. TM. T.J., TM
RW: GH. GM. KE. LS. MW. MZ. SD. SL. SZ. TZ. UG. ZM. ZW. AT. BE. CH.
CY. DE. DK. ES. FI. FR. GB. GR. IF. IT. LU. MC. NI. PT. SE. TR.
BF. B1, CF. CG. C1. CM. GA. GN. GQ. GW. ML. NR. NC. SN. ID. IG
EP 1392292 A2 20040303 EP 2002-734575 20020530
R: AT. RF. CH. DE. DK. ES. FR. GB. GR. II. LI. LU. NL. SE. MC. PT.
IE. S1. LT. LV. FI. RD. MK. CY. AL. TR
US 2003171418 A1 20030911 US 2002-316600 20021211
US 6096476 B2 20040224
VD 2002101378 A2 20020211 WD 2002-11630666 20021211 TJ. TM 6699470
2003101379
A2 20031211
W0 2002-US5Y000 20VELEZ-2
2003101379
A3 20040304
W: AE, AG, AL, AM, AT, AU, A7, BA, BB, BG, BR, BY, BZ, CA, CH, CM, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GO, GE, GH, GH, HB, HU, ID, IL, IN, IS, JP, KF, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MX, NZ, NO, NZ, CM, PH, PL, PT, RO
RN: AT, BE, BG, CH, CY, CZ, DE, DK, EE, SF, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TE, SC, ST, KF, TE, CO04106509
A1 20040603
US 2003-722042
20031124
Y APPLN, INFO:
US 2001-295429P
20010601
W0 2002-US16861
W 200209530 WO 2003101379 20031211 20040304 WO 2003101379 US 2004106609 PRIORITY APPLN. INFO.:

ANSWER 6 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) (Proparation): RACT (Reactant or reagent): USES (Uses)
(drug candidate: prepn. of pyranoindazoles with 5-HT2 receptor activity
for use in the treatment of glaucoma) 478132-10-4 CAPLUS

Pyrano[2.3-g]indazole-1(7H)-ethanamine, 8.9-dihydro-α-methyl-dihydrochloride, (αS)- (9CI) (CA INDEX MAME)

Absolute stereochemistry. Rotation (-)

OTHER SOURCE(S):

477965-95-0P. 1-(2-Aminopropyl)-1.7.8.9-tetrahydropyrano[2.3-g]indazol-8-ol 477965-97-2P. 1-((S)-2-Aminopropyl)-1.7.8.9-tetrahydropyrano[2.3-g]indazol-8-ol 477965-99-4P. [etralydropyrano[2.3-g]indazni-a-01 47790-39-44.
(+)-(R)-1 ((S))-2-Aminopropyl)-1.7.8.9-tetralydropyrano[2.3-g]indazni-8-01 477966-02-2P. (-)-(S)-1-((S)-2-Aminopropyl)-1.7.8.9-tetralydropyrano[2.3-g]indazni-8-01 477966-04-4P.
(-(S)-2-Aminopropyl)-3-methyl-1.7.8.9-tetrahydropyrano[2.3-g]indazni-8-01 477966-06-0P. 1-((S)-2-Jindazni-8-01 477966-08-8P. 4/7966-06-07. 1-((S) 1-tyrn(tln):2-ylmetry():17.2-3.

4/7966-06-07. 2-\(\frac{3}\) 1-tyrn(tln):2-ylmetry():17.2-3.

1.((S))-2-\(\frac{3}\)2-\(\frac{1}\)2-\(\frac{1}\)2-\(\frac{1}\)2-\(\frac{1}\)2-\(\frac{1}\)3-\(\frac{1}\)2-\(\frac{1}\)3-\(\frac{1}\)2-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\(\frac{1}\)3-\( pyrano[2.3-g]indazol-1 yl)-1-methylethylamine 478132-12-6P. (S)-1-Methyl-2-(7H-pyrano[2.3-g]indazol-1-yl)ethylamine

- L5 ANSMCR 6 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) 478132-14-8P. 1-((S)-2-Aminopropyl)-]H-pyrano[2.3-g]indazol-7-one trifluoroacetate 478132-[15-9P. 9-Amino-1-((S)-2-aminopropyl)-1.7-8.9-tetrahydropyrano[2.3-g]indazol-8-ol RI: PAC (Pharmacological activity): SPN (Synthetic preparation): DIN (Therapeutic use): BIOL (Biological study): PREP (Preparation): USFS (Uses)
  - (drug candidate: prepn. of pyranoindazoles with 5-HT2 receptor activity for use in the treatment of glaucoma) 477965-95-0 CAPLUS
- Pyrain(2,3-g)indazol-8-ol. 1-(2-aminopropyl)-1.7.8.9-tetrahydro (9CI) (CA INDEX NAME)



- 477965-97-2 CAPLUS
  Pyrano[2.3-g]indazol-8-ol, 1-[(?S)-2-aminopropyl]-1.7.8.9-tetrahydro-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



- 477965-99-4 CAPLUS Pyrano[2.3-g]indazol-8-ol, 1-[(2S)-2-aminopropyl]-1.7.8.9-tetrahydro-. (8R)- (9C1) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

L5 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN



- 477966-08-8 CAPLUS
- Pyrano[2.3-g]indazol-8-ol. 1-[(2S)-2-aminopropyl]-5-fluoro-1.7.8.9-tetrahydro- (9Cl) (CA INDEX NAME)

Absolute stereochemistry

- 477966-10-2 CAPLUS
- Pyrano[2.3-g]indazole-1(7H)-ethanamine, 8-(dimethylamino)-8.9-dihydro- $\alpha$ -methyl-. ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

- 477966-11-3 CAPLUS
- Pyrano[2,3-g]indazole-8-methanol. 1-[(2S)-2-aminopropyl]-1.7.8.9-tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 6 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

- 477966-02-2 CAPLUS Pyrano[2.3-g]indazol-8-ol. 1-[(2S)-2-aminopropyl]-1.7.8.9 tetrahydro-. (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



- 477966-04-4 CAPLUS Pyrano[2.3-g]indazol-8-ol. 1-[(2S)-2-aminopropyl]-1.7.8.9-tetrahydro-3-methyl- (9CI) (CA INDEX NAME) RN CN

Absolute stereochemistry.

- 477966-06-6 CAPLUS
- Pyrano[2,3-g]indazol-8-ol, 1.7.8.9-tetrahydro-1-[(2S)-2-pyrrolidinylmethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 6 OF 8 CAPLUS COPYRIGHT 2004 ACS on SIN (Continued)



- 477966-13-5 CAPLUS
- Pyrano[3.2-e]indazol-8-ol. 1-(2-aminopropyl)-3.7.8.9-tetrahydro- (901) CN

- 477966-15-7 CAPLUS
  Pyrano[3.2-e]indazol-8-ol. 3.7.8.9-teLrahydro-1-(2-pyrrolidiny)methyl)(9C1) (CA INDEX MANE)

- 477966-1/-9 CAPLUS Pyrano[3,2-e]indazol-8-ol. 1-[(2S)-2-aminopropyl]-3,7.8.9-tetrahydro-(9C1) (CA INDEX NAME)

L5 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

477956-19-1 CAPLUS

 4/173/0-12-1
 CHRUS

 Pyrano[3.2-e]indazol-8-ol. 1-[(2S)-2-aminopropyl]-3.7.8.9-tetrahydro-3-methyl- (9Cl) (CA INDEX NAME)

Absolute stereochemistry.

478132-04-6 CAPLUS

Pyramo[2.3-g]indazole-1(7H)-ethanamine, 8-amino-8,9-dihydro-α-methyl-(αS.8R)- (9CT) (CA INDFX NAME)

Absolute stereochemistry



478132-05-7 CAPLUS Pyrano[2.3-g]indazole-8.9-diol. 1-[(2S)-2-aminopropyl]-1.7.8.9-tetrahydro-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● HC1

478132-09-1 CAPLUS Pyrano[2,3-g]indazole-1(7H)-ethanamine. 8-amino-8.9-dihydro- $\alpha$ -methyl-trihydrochloride. ( $\alpha$ S.8R)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

478132-11-5 CAPLUS Pyrano[2.3-g]indazolc-1(7H)-cthanamine. 8.9-dihydro-α-methyl-. (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

478132-12-6 CAPLUS Pyranc[2.3-g]indazole-1(7H)-ethanamine,  $\alpha\text{-methyl-.}$  ( $\alpha\text{S})-$  (9CI) (CA INDCX NAME)

Absolute stereochemistry.

ANSWER 6 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

478132:06:8 CAPLUS
Pyrano[2.3-g]indazol-8-ol. 1-[(2S)-2-aminopropyl]-1.7.8.9-tetrahydro-9-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

478132-07-9 CAPLUS
Pyrano[2.3-g]indazol-8-ol, 1-(2-aminopropyl)-1.7.8.9-tetrahydromonohydrochloride (9CI) (CA INDEX NAME)

HCT

Absolute stereochemistry.

ANSWER 6 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

478132-14-8 CAPLUS Pyrano[2,3-g]indazol-7(1H)-one, 1-[(2\$)-2-aminopropyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CRN 478132-13-7 CMF C13 H13 N3 02

Absolute stereochemistry.

CM 2

CRN 76-05-1

CMF C2 H F3 02

478132-15-9 CAPLUS
Pyrano[2.3-g]indazol-8-ol. 9-amino-1 [(2S)-2-eminopropyl]-1.7.8.9tetrahydro (9CI) (CA INDEX NAME)

#### 1.5 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)

478132-32-0P. 1-(2-Azidopropy))-8-(1-ethoxyethoxy)-1.7.8.9tetrahydrupyrano[2.3-g]indazole 478132-33-1P.
1-(2 Aridopropy)-1.7.8.9-tetrahydropyrano[2.3-g]indazol-8-ol
478132-42-2P. 1-(R)-2-Azidopropy)-8-(1-ethoxyethoxy)-1./.8.9tetrahydropyrano[2.3-g]indazole 478132-43-3P.
1-((R)-2-Azidopropy)-1.7.8.9-tetrahydropyrano[2.3-g]indazol-8 ol
478132-44-4P. 1-((S)-2-Azidopropy)-1.7.8.9-tetrahydropyrano[2.3-g]indazol-8-ol 478132-50-2P.
1-((S)-2-Azidopropy)-1.7.8.9-tetrahydropyrano[2.3-g]indazol-8-ol 478132-50-2P.
1-((S)-2-Azidopropy)-1.7.8.9-tetrahydropyrano[2.3-g]indazol-8-ol 478132-50-2P.
1-((S)-2-Azidopropy)-1.7.8.9-tetrahydropyrano[2.3-g]indazol-8-ol 478132-50-2P.
1-((S)-8-Azidopropy)-1.7.8.9-tetrahydropyrano[2.3-g]indazol-8-ol 478132-50-4P.
1-((S)-8-Azidopropy)-1.7.8.9-tetrahydropyrano[2.3-g]indazol-1-y]-1.7.8.9-tetrahydropyrano[2.3-g]indazol-1-y]-1-methylethyl]carbamate 478132-56-8P. Benzyl [(S)-2 ((BR.9S)-8.9.)
Dihydroxy-8.9-dihydro-7H-pyrano[2.3-g]indazol-1-y]-1-methylethyl]carbamate 478132-56-4P. Benzyl [(S)-2-((BR.9S)-8.9.)
Dihydroxy-8.9-dihydro-7H-pyrano[2.3-g]indazol-1-y]-1-methylethyl]carbamate 478132-69-4P. Benzyl [(S)-2-(B-Brony-9-hydroxy-8.9-dihydro-7H-pyrano[2.3-g]indazol-1-y]-1-methylethyl]carbamate 478132-69-4P. Benzyl [(S)-2-(B-Brony-9-hydroxy-8.9-dihydro-7H-pyrano[2.3-g]indazol-1-y]-1-methylethyl]carbamate 478132-60-4P. Benzyl [(S)-2-(B-Brony-9-hydroxy-8.9-dihydro-7H-pyrano[2.3-g]indazol-1-y]-1-methylethyl]carbamate 478132-60-6P. Benzyl [(S)-2-(B-Brony-9-hydroxy-8.9-dihydro-7H-pyrano[2.3-g]indazol-1-y]-1-methylethyl]carbamate 478132-60-6P. Benzyl [(S)-2-(B-Brony-6-9-dihydro-7H-pyrano[2.3-g]indazol-1-y]-1-methylethyl]carbamate 478132-60-6P. Benzyl [(S)-2-(B-Brony-6-9-dihydro-7H-pyrano[2.3-g]indazol-1-y]-1-methylethyl]carbamate 478132-60-6P. Benzyl [(S)-2-(B-Brony-6-9-dihydro-7H-pyrano[2.3-g]indazol-1-y]-1-methylethyl]carbamate 478132-60-6P. Benzyl [(S)-2-(B-Brony-6-9-dihydro-7H-pyrano[2.3-g]indazol-1-y]-1-methylethyl]carbamate 478132-60-6P. Benzyl [(S)-2-(B-Brony-6-9-dihydro-7H-pyrano[2.3-g]indazol (Reactant or reagent)

(intermediate: preparation of pyramoindazoles with 5-HT2 receptor activity
for use in the treatment of glaucoma) 478132-32-0 CAPLUS
Pyrano[2.3-g]indazole. 1-(2-azidopropyl)-8-(1-ethoxyethoxy)-1.7.8.9-

#### ANSWER 6 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

tetrahydro- (9C1) (CA INDEX NAME)



478132-44-4 CAPLUS Pyrano[2.3-g]indazol-8-ol. 1-[(2S)-2-azidopropyl]-1.7.8.9-tetrahydro-(OCI) (CA INDEX NAME) CN

## Absolute stereochemistry.



478132-48-8 CAPLUS Pyrano[2,3-g]indazol-8-ol. 1-[(2S)-2-azidopropyl]-1.7.8.9 tetrahydro-. (8R) - (9C1) (CA INDEX NAME)

## Absolute stereochemistry

Pyrano[2,3-g]indazole. 1-[(2S)-2-azidopropyl]-8-(1-ethoxyethoxy)-1.7,8.9-tetrahydro-. (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry

L5 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

478132-33-1 CAPLUS Pyrano[2.3-g]indazol-8-ol. 1-(2-azidopropyl)-1,7,8.9-tetrahydro- (9CI) (CA INDEX NAME)

478132-42-2 CAPLUS Pyrano[2,3-glindazole, 1-[(2R)-2-azidopropyl]-8-(1-ethoxyethoxy)-1.7.8.9-tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry

478132-43-3 CAPLUS
Pyrano[2.3-g]indazol-8-ol. 1-[(2R)-2-azidopropyl]-1.7.8.9-tetrahydro(9CI) (CA INDEX NAME) RN CN

Absolute stereochemistry

L5 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



478132-51-3 CAPLUS
Pyrano[2.3-g]indazol-8-ol. 1-[(2S)-2-azidopropy1]-1.7.8.9-tetrahydro-.
(8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

478132-52-4 CAPLUS
Pyramo[2.3-g]indazole, 8-azido-1-[(2R)-2-azidopropyl]-1.7.8.9-tetrahydro-,
(8S)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

#### L5 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

#### Absolute stereochemistry.

478132-57-9 CAPLUS
Carbamic acid. [(1S)-2-[(8S.9R)-8.9 dihydro-8.9-dihydroxypyrano[2.3-g]indazol-1(7H)-yl]-1-methylethyll-, phenylmethyl ester (9CI) (CA INDEX NAMF)

#### Absolute stereochemistry.

#### ANSWER 6 OF 8 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)

478132-62-6 CAPLUS
Carbamic acid. [(1S)-2-(8.9-dihydro-8-hydroxy-9-methoxypyrano[2.3-g]indazol-1(7H)-yl)-1-methylethyl]-. phenylmethyl ester (9Cl) (CA IMDEX

## Absolute stereochemistry.

ANSWER 6 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

478132-59-1 CAPLUS Pyrano[2.3 g]indazole. 1-[(2S)-2-azidopropyl]-1,7-dihydro- (9CI) (CA CN INDEX NAME)

### Absolute stereochemistry.

478132-60-4 CAPLUS Carbamic acid. [(1S)-2-(8-bromo-8.9-dihydro-9-hydroxypyrano[2.3-g]indazol-1(7H)-yl)-1-methylethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME) CN

### Absolute stereochemistry.

4/8132-61-5 CAPLUS

Carbamic acid. [[15]-2-(9-azido-8-bromo-8.9-dihydropyrano[2.3-g]indazol-1(/H)-yl)-1-methylethyl]-, phenylmethyl ester (9Cl) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN ACCESSION NUMBER: 2001:816670 CAPLUS

OOCUMEN! NUMBER: TITLE:

135:357919 Preparation of furoindazole derivatives having 5-HT2c

agonistic activity Goto, Seiki: Takahashi, Takumi: Nakamura, Atsushi: Miyafuji, Akio: Maeno, Kyoichi: Shimada, Itsurou Yamanouchi Pharmaceutical Co., Ltd., Japan INVENTOR(S):

PATENT ASSIGNEE(S):

PCI Int. Appl.. 40 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: Japanese

APPLICATION NO. DATE PATENT NO. KIND DATE PATENT NO. KIND DATE APPLICATION NO. DATE

WO 2001083487 AI 20011108 W0 2001-JP3556 20010425

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CH, CO, CR, CU, CZ, DE, UK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, IX, IR, LS, LI, LU, LV, MA, MD, MG, MK, MI, MA, MX, MZ, ND, NZ, PL, PT, RO, LL, SD, SE, SG, ST, SK, SI, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZN, AM, AZ, BY, KG, KZ, MD, RU, TJ, IM

RN: GH, GM, KC, LS, MM, MZ, SD, SL, SZ, TZ, US, ZN, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SC, TR, BF, BJ, CF, CG, CI, CM, GA, GI, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLIN. INFO: P2001-129894 A 20000428

GTHER SOURCLE(S): CASREACT 135:357919: MARPAT 135:357919

ABSTRACT:
Title computs. [i: R1, R2, R4, R5 each independently = H, alkyl: R3 \* alkyl] and pharmaceutically acceptable salts thereof, having selectivity for 5-HT2c receptors and agonistic activity, are prepared. A process for industrially producing title compost. I or pharmaceutically acceptable salt, and an intermediate for use in the process are claimed. Medicinal composition comprising a title compound I or pharmaceutically acceptable salt and a pharmaceutically acceptable carrier, especially a medicinal composition for the treatment of central

L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2004 ACS on STM (Continued) nervous system diseases such as sexual function disorders are also discussed.

IT 372163-85-4P

3/2163-85-4P

RI: BAC (Biological activity or effector, except adverse): BSU (Biological study, unclassified): SPN (Synthetic preparation): THU (Therapeutic use): BTOL (Biological study): PREP (Preparation): USES (Uses) (preparation of furoindazole derivs having 5-HI2c agonistic activity) 3/2163-85-4 CAPLUS

S/2/03-85-4 CAPLUS
Butanedioic acid. compd. with (uS)-7-ethyl-u-mcthyl-1H-furo[2.3-g]indazole-1-ethanamine (1:2) (9CI) (CA INDEX NANE)

CRN 372163-84-3 CMF C14 H17 N3 O

Absolute stereochemistry. Rotation (-).



CM 2

CMF C4 H6 O4

HO2C-CH2-CH2-CO2H

II 372163-95-6P

3/216)-99-97
RL: RCT (Reactant): SPN (Synthetic preparation): PREP (Preparation): RACT (Reactant or reagent)
(preparation of furoindazole derivs. having 5-HTZc agonistic activity)

3721G3-95-6 CAPLUS
Carbamic acid. [(IS)-2-(7-ethyl-1H-furo[2.3-g]indazol-1-yl)-1-methylethyl].
1.1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute storeochemistry

L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

●HC1

372163-87-6 CAPLUS 1H-Furo[2.3-g]indazole-1-ethanamine, 3.7-diethyl- $\alpha$ -methyl-, monohydrochloride, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry

◆ HC1

372163-88-7 CAPLUS 1H-Furo[2.3-g]indazole-1-ethanamine.  $\alpha$ -methyl-7-propyl-. monohydrochloride. ( $\alpha$ 5)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

HC1

L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN

IT 372163-84-3P 372163-86-5P 372163-87-6P 372163-88-7P 372163-89-8P 372163-90-1P 372163-91-2P 372163-91-2P 372163-96-7P 372163-97-8P 372163-98-9P 372163-99-0P 372164-00-6P 372164-01-7P

RI: SPN (Synthetic preparation): THU (Therapeutic use): BTOL (Biological study): PREP (Preparation): USFS (Uses) (preparation of furoindazole derivs, having 5-HT2c agonistic activity)

3/2163-84-3 CAPLUS
1H-Furo[C.3-g]indazole-1-ethanamine, 7-ethyl-u-methyl-, (uS)(9C1) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

 $\begin{array}{lll} 372163\cdot86.5 & \text{CAPLUS} \\ 11\text{Furo[2.3-glindazole-1-ethanamine. 7-ethyl-}\alpha.3\text{-dimethyl-.} \\ \text{monohydrochloride. (uS)- (9Cl) (CA INDEX NAME)} \end{array}$ 

Absolute stereochemistry.

ANSWER 7 OF 8 CAPLUS COPYRIGHT 2004 ACS ON STN 372163-89-8 CAPLUS

IH-Furo[2.3-g]indazole-1-ethanamine. N.7-diethyl-α-methyl-,
(«S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

372163-90-1 CAPLUS

Butanedioic acid. compd. with (aS)-N.7-diethyl- $\alpha$ -methyl-1H-furo[2.3-g]indazole-1-ethanamine (1:2) (9CI) (CA INDEX NAME)

CRN 372163-89-8

CMF C16 H21 N3 O

Absolute stereochemistry

CRN 110-15-6 CMF C4 H6 O4

H02C-CH2-CH2-CO2H

372163-91-2 CAPLUS

TH-Furo[2.3-g]indacole-1-ethanamine, 4.7-diethyl- $\alpha$ -methyl-, monohydrochloride, (aS)- (9CI) (CA INDEX NAME)

L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2004 ACS on STM (Continued)

372163-92-3 CAPI.US  $\label{eq:hammine} \begin{array}{ll} \text{H-Furo}[2.3\text{-g}] \text{indazole-1-ethanamine. 7-butyl-}\alpha\text{-methyl-},\\ \text{monohydrochloride. (aS)- (9CI) (CA_INDEX_NAME)} \end{array}$ 

Absolute stereochemistry.

●HC1

3/2163-94-5 CAPLUS 3/2103-94-5 CAPLUS
HI-Furo[2.3-g]indazole-1-ethanamine, «-methyl-7-(3-methylbutyl)-, monohydrochloride, («S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (9CI) (CA INDEX NAME)

Absolute stereochemistry.

372163-99-0 CAPLUS
1H-Furo[2.3-9]Indazole-1-ethanamine. 4.7-diethyl-α-methyl-.
(«S)- (9CI) (GA INDEX NAME)

372164-00-6 CAPLUS lH-Furo[2.3-g]indazolo-1-ethanamine. 7-butyl- $\alpha$ -methyl-. ( $\alpha$ S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

372164-01-7 CAPLUS lH-Furo[2.3-g]indazole-1-ethanamine,  $u\text{-methyl-7-(3-methylbutyl)-,} \ (\text{qS})\text{--} \ (\text{9CI}) \ \ (\text{CA INDEX NAMC})$ 

Absolute stereochemistry.

L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

● HC1

372163-96-7 CAPLUS 1H-Furo[2.3-g]indazolc-1-ethanamine, 7-ethyl- $\alpha$ ,3-dimethyl-, ( $\alpha$ \$)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

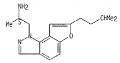
3/2163-9/-8 CAPLUS
1H-Furo[2.3-g]:ndazole-1-ethanamine, 3.7-diethyl-«-methyl-.
(#S)- (9Cl) (CA INDEX NAME)

Absolute stereochemistry.

372163-98-9 CAPLUS

lH-Furo[2.3-g]indazole-1-ethanamine,  $\alpha$ -methyl-7-propyl-, ( $\alpha$ S)-

15 ANSWFR 7 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



REFERENCE COUNT:

THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT 24

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ANSWER 8 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN
CESSION NUMBER: 1999:7974 CAPLUS
CUMENT NUMBER: 130:66493
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ACCESSION NUMBER: DOCUMENT NUMBER:

TITLE:

INVENTOR(S):

130:66493
Preparation of tricyclic pyrrole or pyrazole derivatives as pharmaceuticals with affinity for the SHT2c receptors
Macno, Kyoichi: Kazuta, Ken-ichi: Kubota, Hideki: Shimada, Itsuro: Kimizuka, Fetsuya: Sakemoto, Shuichi: Wanibuchi, Fumikazu
Yamanouchi Pharmaceutical Co., Ltd., Japan
PCI Int. Appl., 52 pp.
COORN: PIXXD2
Patent

PATENT ASSIGNEE(S):

DOCUMENT TYPE: Patent

LANGUAGE: FAMILY ACC. NUM. COUNT:

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L5 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

MARPAT 130:66493

OTHER SOURCE(S): GRAPHIC IMAGE:

The Funcil 2.3-glindazole-1-ethanamine,  $\alpha$ -ethyl-, monohydrochloride (9C1) (CA INDEX NAME)

HC1

217522-38-8 CAPLUS lH-Furo[2.3-g]indazole-1-ethanamine,  $\alpha$ -cyclohexyl-.monohydrochloride (901) (CA INDEX NAME)

LS ANSWER 8 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

ABSTRACT:
The title compds. I [ring Y represents an unsatd. 5-membered ring optionally having 1 to 3 heteroatoms of one or more types selected from the group consisting of nitrogen. oxygen and sulfur or an unsatd. 6-membered ring having 1 or 2 nitrogen atoms; X represents a bond or carbon: the dotted line represents a double or single bond: V represents nitrogen or CH; and A represents innear or branched lower alkylene optionally substituted by halogeno or cycloalky! RI. RP = H. alkyl: or IRRIX = N-containing saturated heterocyclic ring: R3. R4 = H. alkyl: or IRRIX = N-containing saturated heterocyclic ring: repared I have high selectivity and affinity for 5 HIZe receptors and are useful in treating central nervous system diseases such as Sexual function useful in treating central nervous system diseases such as sexual function disorder, appetite regulation disorder, arxiety, depression or sleep disturbance. In an in vitro test for affinity for the SHT2c receptor, the indazole derivative II showed the K1 value of 0.8 nM.

ANSWER 8 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Cont 1H-Furo[2.3-g]indazole-1-ethanaminc, a-(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME) (Continued)

●HC1

217522-53-7 CAPLUS

TH-Furo[2.3-g]indazole-1-ethanamine, α-methyl-, (αR)- (9Cl) (CA INDEX MAME)

Absolute stereochemistry

217522-82-2 CAPLUS

HI-Furo[2.3-g]indazole-1-ethanamine, α.7-dimethyl-. (αS)-. (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CRN 217522-81-1

CMF C13 H15 N3 O Absolute stereochemistry.

L5 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

217522-89-9 CAPLUS
1H-Furo[2.3-g]indazole-1-ethanamine. 3 ethyl-u-methyl-.
dihydrochloride. (uS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

### ●2 HC1

217522-91-3 CAPLUS lH-Furo[2.3-g]indazole-1-ethanaminc,  $\alpha\text{-methyl-3-propyl-dihydrochloride}. (aS)- (9CI) (CA INDEX NAME)$ 

Absolute stereochemistry.

RN

ANSWER 8 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) 217523-04-1 CAPLUS HI-Furo[2.3-y]indazole-1-ethanamine, monohydrochloride (9CI) (CA INDEX NAME)

217523-08-5 CAPLUS 1H-Furo[2.3-g]1ndazole-1-ethanamine.  $\alpha$ -propyl-, monohydrochloride (9C1) (CA INDEX NAME)

## ●HC1

217523-10-9 CAPLUS 1H-Furo[2.3-g]indazole-1-cthanamine,  $\alpha$ -(1-methylcthyl)-. monohydrochloride (9CI) (CA INDEX NAME)

●HC1

217523-25-6 CAPLUS

1H-Furo[2,3-g]indazole-1-ethanamine, 3-ethyl-, dihydrochloride (9CI) (CA

L5 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

●2 HC1

217522-94-6 CAPLUS IN-Furo[2.3-g]indazole-1-ethanamine. 3-methoxy-α-methyl-. (ας)-. (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CRN 217522-93-5 CMF C13 H15 N3 O2

Absolute stereochemistry.

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

L5 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2004 ACS on SIN (Continued) INDEX NAME)

**●**2 HC1

217523-28-9 CAPLUS 1H-Furo[2.3-g]indazole-1-ethanamine, 7.8-dihydro-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 217523-27-8 CMF C11 H13 N3 0

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

217523-32-5 CAPLUS lH-Furo[2.3-g]indazole. 3-methyl-1-[(2S)-2-pyrrolidinylmethyl]-. dihydrochloride (9Cl) (CA INDEX NAME)

L5 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2004 ACS on SIN (Continued)

●2 HC1

217523-34-7 CAPLUS 1H-Furo[2.3-g]indazole. 3-ethyl-l-[(2S)-2-pyrrolidinylmethyl]-.monohydrachloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

● HC1

217523-36-9 CAPLUS 1H-Fure[?.3-g]indazole, 1-[(2R)-2-pyrrolidinylmethyl]-, dihydrochloride (9C1) (CA INDEX NAMF)

Absolute stereochemistry.

L5 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2004 ACS on S'IN (Continued)

21/523-42-7 CAPLUS 1H-Furo(2.3-g)indazole-1-ethanawine,  $\alpha,\alpha$ -dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HC1

217523-48-3 CAPLUS 1H-Furc(2.3-g)indazole-1-ethanamine,  $\alpha\text{-phenyl-}$ , (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CRN 217523-47-2 CMF C17 H15 N3 O

L5 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

●2 HC1

RN 217523-38-1 CAPLUS
CN 1H.Furo[2.3-g]indazole. 1-[(2S)-2-pyrrolidinylmethyl]-, dihydrochloride
(9C1) (CA 1MDEX MAME)

Absolute stereochemistry.

●2 HC1

217523-40-5 CAPLUS lH-Furo[2.3-g]indazole, 1-(2-piperidinylmethyl)-, monohydrochloride (901) (CA INDEX NAME)

L5 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

2175/23-53-0 CAPLUS 1H-Furo(2.3-g]indazole. 1-(2-azeLidinylmethyl)-. dihydrochloride (9CI) (CA INDEX NAME)

●2 HC1

217523-64-3 CAPLUS  $\frac{11+Furo[2.3-g] indazole \cdot 1-ethanamine. \ \alpha-methy] \cdot , \ (uS) \cdot \ (9CI) }{(CA \ INDEX \ NAME)}$ 

Absolute stereochemistry.

RN 217523-78-9 CAPLUS
CN 1H-Furo[2.3-g]indazole-1-ethanamine (9CI) (CA INDEX NAME)

L5 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

H2N-CH2-CH2

217526-50-6 RL: RCT (Reactant): RACT (Reactant or reagent) (preparation of tricyclic pyrnole or pyrazole derivs. as pharmaceuticals with affinity for SHT2c receptors)
217526-50-6 CAPLUS

1-Pyrrolidinecarboxylic acid. 2-[(3-methyl-1H-furo[2.3-g]indazol-1-yl)methyl]-. phenylmethyl ester. (2S)- (9Cl) (CA INDEX NAME)

Absolute stereochemistry.

217524-46-4P 217524-48-6P 217524-60-2P 217524-86-2P 217524-92-0P 217524-94-2P 217524-96-4P 217526-08-4P 217526-03-5P IT 21/326-04-09 21/326-03-49 21/326-33-59 REL RCT (Reactant): SPRI (Synthetic preparation): PREP (Preparation): RACT (Reactant or reagent) (preparation of tricyclic pyrrole or pyrazole derivs, as pharmaccuticals with affinity for 5HT2c receptors) 21/354-46-4 CAPLUS

1H-Furo[2.3-g]indazole. 1-(2-azidopropyl)- (9CI) (CA INDEX NAME)

ANSWER 8 OF 8 CAPLUS COPYRIGHT 2004 ACS on SIN

217524-92-0 CAPLUS IH-Furo[2.3-g]indazole. 1-[(?S)-2-azidopropyl]-3-ethyl- (9Cl) (CA INDEX NAME)

Absolute stereochemistry.

217524-94-2 CAPLUS 1H-Furo[2.3 g]indazole, 1-[(2S)-2-azidopropyl]-3-propyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

217524-96-4 CAPLUS

TH-Furo[2,3-g]indazole. 1-[(2S)-2-azidopropyl]-3-methoxy- (9CI) (CA INDEX

Absolute stereochemistry

1.5 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN CN 1H-Furo[2,3-g]indazole. 1-(2-azido-2-cyclohexylethy1)- (9CI) (CA INDEX

NAME)

217524-60-2 CAPLUS
1H-Furo[2.3-g]indazole. 1-(2-azidobutyl)- (9CI) (CA INDEX NAME)

CN 1H-Furo[2.3-g]indazole, 1-[(2S)-2-azidopropyl]-7-methyl- (9CI) (CA INDEX

Absolute stereochemistry.

1.5 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

217525-26-3 CAPLUS

Acetamide. N-[2-(1H-furo[2.3-g]indazol-1-yl)ethyl]- (9CI) (CA INDEX NAME)

RN 217525-74-1 CAPLUS CN 1H-Furo[2.3-g]indazole, 1-[(2S)-2-azidopropyl]- (9CI) (CA INDEX NAME)

237526-04-0 CAPLUS

1H-Furo[2.3-g]:ndazole. 1-(2-methyl-2-nitropropyl)- (9CI) (CA INDEX NAME)

217526-08-4 CAPLUS
1-Azetidinecarboxylic acid. 2-(lH-furu[2.3-g]indazol-1-ylmethyl)-.

L5 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN 1.1-dimethylethyl ester (9CI) (CA INDEX NAME) (Continued)



RN 2175?6-33-5 CAPLUS CN 1H-Furo[2,3-g]indazole, 1-(2-azido-3.3.3-trifluoropropyl)- (9CI) (CA INDEX NAME)



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